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(19)

(54) IMPROVEMENTS IN OINTMENT BASES

(71) We, DYNAMIT NOBEL AKTIENGESELLSCHAFT, a joint stock company organised under the laws of Germany, of Postfach 1209, 521 Troisdorf, Germany, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement:—

It is known that coconut oil containing longer-chained fatty acid and lauric acid is not suitable for use as an ointment base due to the strong dependence of the consistency on the temperature.

In German Patent 1,090,824, it is proposed to mix 50 to 75 parts by weight of a mixture of fatty acids with 8 to 12 carbon atoms in the molecule with 50 to 25 parts of a fatty acid with 18 to 22 carbon atoms in the molecule and esterify the mixture obtained with glycerine. In this way an ointment base is obtained which, like soft paraffin, has a very good spreadability, but whose consistency at temperature above 35°C is no longer satisfactory.

According to U.S. Patent 2,628,187 liquid paraffin is brought into an ointment-like consistency by working in polyethylene. However the use of hydrocarbons in pharmaceuticals and cosmetics is limited due to the hydrophobic properties of these products. Attempts have also been made to give liquid triglycerides e.g. almond oil, an ointment-like consistency by means of additives and to this end natural waxes such as spermaceti and beeswax have been proposed. Such mixtures of natural waxes or tallow with vegetable oil do not, however, fulfil the demands made on ointment bases due to their lack of stability.

It has hitherto proved impossible to convert fatty acid esters with a liquid consistency containing no unsaturated fatty acids into a spreadable ointment base.

We have now developed an ointment base which remains spreadable over a wide temperature range of at least 0—40°C and which is not prone to become rancid during storage, based on glycerine esters of saturated fatty acids with 8 to 12 carbon atoms in the molecule.

One aspect of the present invention provides an ointment base comprising an intimate mixture a saturated triglyceride wherein the fatty acid residues each contain 8—12 carbon atoms and 5—20% by weight of a gelling agent effective to give said triglyceride the consistency of a gel over at least the temperature range from 0° to 40°C, said gelling agent being polyethylene having an average molecular weight of 1,000 to 20,000 or an ethylene/vinyl acetate copolymer.

A suitable copolymer comprises e.g. 30—70 parts by weight ethylene and 70—30 parts complementary vinyl acetate, and preferably has a molecular weight in the range 1,000 to 10,000. An addition of waxes e.g. a microcrystalline wax (a synthetic wax of branched chain C_{16}—C_{26} hydrocarbons) or beeswax up to 20% by weight causes a further increase in the stability.

It is preferred that the ointment base has a viscosity of 180—500 poise at 20°C. It will be understood that no significance chemical reaction takes place between the triglyceride and the gelling agent.

The ointment base produced has an excellent compatibility with the skin because the main component thereof is a fatty substance. It readily penetrates the skin so that medicaments incorporated in the base are well absorbed. In addition the ointment base has a very good stability not possessed by natural fats or oils due to their content of unsaturated fatty acids.

According to another aspect of the invention the incorporation of the gelling agent, such as polyethylene with an average molecular weight from 1,000 to 20,000 may be performed by dissolving it in the triglyceride at an elevated temperature, e.g. while briefly heating the mixture to 60—90°C accompanied by stirring, preferably followed by heating under vacuum at 100—140°C. When solution occurs, the mixture is cooled, e.g. to about 50°C by passage over an appropriate cooling roller, whereby a gel is formed and the mass

solidifies. The solidified mass is milled on a roller mill and a base with an ointment-like consistency is obtained.

As triglyceride starting material we prefer to use materials having the following characteristics: acid number less than 1; saponification number 220—345; iodine number less than 1.

The rheological properties as well as the temperature stability of the ointment bases according to the invention can be further improved by adding waxes advantageously in a proportion of up to 20% by weight e.g. 5—20% by weight. One may use e.g. 3 to 10% by weight of beeswax or spermaceti or 5 to 20% by weight of microcrystalline waxes. Alternatively or in addition one may add up to 2%, e.g. 1—2% by weight of a metallic soap having gelling properties, especially aluminium monobasic salts of fatty acids having 14—18 carbon atoms, e.g. aluminium monostearate. The liquid triglyceride does not separate from the ointment base even after prolonged storage and the consistency of the base remains virtually unchanged in the temperature range from 0 to 40°C.

The ointment bases according to the invention are suitable as vehicles for the most varied pharmaceutical agents because a homogeneous distribution of the active ingredients can be obtained without special mixing procedures and generally demixing does not occur even after prolonged storage.

The ointment bases according to the invention can also be successfully used in cosmetics where they simultaneously protect the skin from drying as a result of their lubricating action, without however leaving an unwanted grease film on the skin.

In order that the invention may be better understood, the following Examples are given by way of illustration only. The viscosity measurements were made by the Fryklöf method, using a Brookfield viscometer.

Example 1

68.5 g of a triglyceride mixture of C_8—C_{12} fatty acids (viz. 45% caprylic acid, 8% lauric acid and 47% caproic acid, the triglyceride having the following characteristics: acid number 0.08; saponification number 332; hydroxyl number 10; iodine number 0.5) is heated to 80°C in a three-necked flask accompanied by stirring and 1.5 g of aluminium monostearate is stirred in. After placing the mixture under a reduced pressure of 20 Torr it is slowly heated to 100°C. After formation of a gel the vacuum is released by addition of nitrogen and 10 g of polyethylene wax (molecular weight 12,000) as well as 20 g of a microcrystalline wax are added. Subsequently the pressure is again reduced to 20 Torr and the temperature is adjusted to 130°C over 1/2 hour. As soon as this temperature is reached, intensive stirring takes place and the reaction mixture is cooled to 110°C and subsequently, by means of a cooling roller, to a temperature below 50°C. After milling on a roller mill, an ointment base similar to petroleum jelly is obtained with the following characteristics: acid number 1.8; saponification number 231; hydroxyl number 13; iodine number 0.5.

The viscosity of this ointment base is about 210 p (20°C).

Example 2

80 g of the triglyceride mixture of Example 1 are stirred and processed as in Example 1 with 1.5 g of aluminium monostearate, 10 g of polyethylene wax (average molecular weight 15,000) and 10 g of bleached wax under the same conditions. The resulting ointment base has the following characteristics: acid number 2.4; saponification number 238; hydroxyl number 11; iodine number 1.7.

The viscosity is about 345 p (20°C).

Example 3

85 g of triglyceride mixture of C_8—C_{112} fatty acids (viz. 41% caprylic acid, 14% lauric acid and 45% caproic acid, the triglyceride having the following characteristics: acid number 0.05; saponification number 347; hydroxy number 5; iodine number 0.3) is stirred at 85°C. and processed as in Example 1 with 15 g of polyethylene wax (average molecular weight 10,000) under the same conditions.

The resulting ointment base has the following characteristics: acid number 1.2; saponification number 255; iodine number 1.2. The viscosity of this ointment base is about 320 p (20°C).

Example 4

85 g of the triglyceride mixture of Example 1 is processed as in Example 2 with 5 g of a copolymer of ethylene and vinyl acetate (average molecular weight 18000 and containing 55 weight % vinyl acetate) and 10 g of a microcrystalline wax; 1.3 g of aluminium monopalmitate was used in place of the aluminium monostearate of Example 2 and stirring under vacuum was performed at 115°C.

The resulting ointment base has the following characteristics: acid number 2.9; saponification number 246; hydroxyl number 25; iodine number 1; viscosity 290 p (20°C).

Example 5

160 g of a triglyceride mixture (the fatty acid content being 38% caprylic acid, 17% lauric acid and 45% caproic acid and the triglyceride having the following characteristics: acid number 0.05; saponification number 344; hydroxyl number 8; iodine number 1) are processed with 20 g of polyethylene wax, molecular weight 15,000, accompanied by

stirring and heating under the conditions of Example 1. No aluminium salt was present. After reaching the solution temperature of 130°C within 20 minutes, with the aid of a cooling roller the mixture is brought to a temperature below 50°C and subsequently, after processing on a roll mill petroleum jelly-like ointment base with the following characteristics is obtained:

Acid number	2.5
saponification number	242
Iodine number	1.5
Viscosity	360 p

WHAT WE CLAIM IS:—

1. An ointment base comprising in intimate mixture a saturated triglyceride wherein the fatty acid residues each contain 8—12 carbon atoms and 5—20% by weight thereof of a gelling agent effective to give said triglyceride the consistency of a gel over at least the temperature range from 0° to 40°C, said gelling agent being polyethylene having an average molecular weight from 1,000 to 20,000 or an ethylene/vinyl acetate copolymer.

2. An ointment base according to claim 1 wherein said copolymer comprises 30—70 parts by weight ethylene and 70—30 parts by weight complementally vinyl acetate and has a molecular weight in the range 1,000 to 10,000.

3. An ointment base according to claim 1 or 2 including up to 20% by weight of a wax.

4. An ointment base according to claim 3 including 3—10% of spermacetic or beeswax.

5. An ointment base according to claim 3 including 5—20% of a microcrystalline wax.

6. An ointment base according to any of the preceding claims including up to 2% by weight of a metallic soap having gelling properties.

7. An ointment base according to claim 6 wherein said metallic soap is a monobasic aluminium salt of a fatty acid having 14—18 carbon atoms.

8. An ointment base according to claim 6 wherein said metallic soap is aluminium monostearate.

9. An ointment base according to claim 1, substantially as hereinbefore described and as illustrated with reference to any of Examples 1—4.

10. An ointment base according to claim 1, substantially as hereinbefore described and as illustrated with reference to Example 5.

11. A method for the preparation of the ointment base defined in claim 1 which comprises dissolving said gelling agent in said triglyceride at an elevated temperature and cooling the mixture to form a gel.

12. A method according to claim 11 wherein the mixture is heated at 60—90°C and subsequently heated under vacuum at 100—140°C.

13. A method according to claims 11 or 12 wherein the product is subsequently milled on a roller mill.

14. An ointment base made by the method of any of claims 11—13.

15. A cosmetic preparation comprising an ointment base according to any of claims 1—10 or 14.

16. A pharmaceutical preparation comprising as vehicle an ointment base according to any of claims 1—10 or 14.

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